

PATENT COOPERATION TREATY

PCT

NOTIFICATION OF ELECTION

(PCT Rule 61.2)

From the INTERNATIONAL BUREAU

To:

Commissioner
US Department of Commerce
United States Patent and Trademark
Office, PCT
2011 South Clark Place Room
CP2/5C24
Arlington, VA 22202
ETATS-UNIS D'AMERIQUE
in its capacity as elected Office

Date of mailing (day/month/year) 08 February 2001 (08.02.01)	
International application No. PCT/US00/13048	Applicant's or agent's file reference 696.1PC
International filing date (day/month/year) 11 May 2000 (11.05.00)	Priority date (day/month/year) 11 May 1999 (11.05.99)
Applicant WONG, Chi-Huey et al	

1. The designated Office is hereby notified of its election made:

☒ in the demand filed with the International Preliminary Examining Authority on:
11 December 2000 (11.12.00)

☐ in a notice effecting later election filed with the International Bureau on:

2. The election ☒ was
☐ was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No.: (41-22) 740.14.35	Authorized officer Zakaria EL KHODARY Telephone No.: (41-22) 338.83.38
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PATENT COOPERATION TREATY

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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

REC'D 29 OCT 2001

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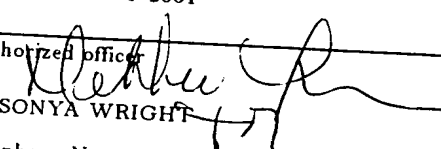
PCT

Applicant's or agent's file reference 696.1PC	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/US00/13048	International filing date (day/month/year) 11 MAY 2000	Priority date (day/month/year) 11 MAY 1999
International Patent Classification (IPC) or national classification and IPC IPC(7): C07D 207/02; 207/08; A61K 31/4015 and US Cl.: 548/520, 556; 514/422, 425		
Applicant THE SCRIPPS RESEARCH INSTITUTE		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets.
☒ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority. (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).
 These annexes consist of a total of 1 sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☐ Non-establishment of report with regard to novelty, inventive step or industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☒ Certain defects in the international application
- VIII ☒ Certain observations on the international application

Date of submission of the demand 11 DECEMBER 2000	Date of completion of this report 28 AUGUST 2001
Name and mailing address of the IPEA/US Commissioner of Patents and Trademarks Box PCT Washington, D.C. 20231	Authorized officer  SONYA WRIGHT
Facsimile No. (703) 305-3230	Telephone No. (703) 308-1235

Form PCT/IPEA/409 (cover sheet) (July 1998)*

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AL	Albania	ES	Spain	LS	Lesotho	SI	Slovenia
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EE	Estonia	LR	Liberia	SG	Singapore		

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/US00/13048

I. Basis of the report

1. With regard to the **elements** of the international application:*

- ☐ the international application as originally filed

☒ the description:

pages 1-26

pages NONE

pages NONE

, as originally filed

, filed with the letter of _____, filed with the demand

☒ the claims:

pages 28 and 29

pages NONE

pages 27

pages NONE

, as amended (together with any statement) under Article 19

, filed with the letter of _____, filed with the demand

☒ the drawings:

pages 1-20

pages NONE

pages NONE

, as originally filed

, filed with the letter of _____, filed with the demand

☒ the sequence listing part of the description:

pages NONE

pages NONE

pages NONE

, as originally filed

, filed with the letter of _____, filed with the demand

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language _____ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rules 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in printed form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☒ The amendments have resulted in the cancellation of:

☒ the description, pages NONE

☒ the claims, Nos. NONE

☒ the drawings, sheets/fig. NONE

5. ☐ This report has been drawn as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**

* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17).

**Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/US00/13048

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step and industrial applicability; citations and explanations supporting such statement

1. statement

Novelty (N)

Claims	<u>1-23</u>	YES
Claims	<u>NONE</u>	NO

Inventive Step (IS)

Claims	<u>5-23</u>	YES
Claims	<u>1-3 and 4</u>	NO

Industrial Applicability (IA)

Claims	<u>1-23</u>	YES
Claims	<u>NONE</u>	NO

2. citations and explanations (Rule 70.7)

Claims 1-4 lack an inventive step under PCT Article 33(3) as being obvious over Takaoka et al. Inhibition of N-Acetylglucosaminyltransfer Enzymes: Chemical-Enzymatic Synthesis of New Five-Membered Acetamido Azasugars. J. Org. Chem. 1993, Vol. 58, No. 18, pages 4809-4815, especially page 4811. Applicants claim hexoaminidase or glycosidase inhibitors. Takaoka et al. teach acetamido azasugars as inhibitors of beta-N-acetylglucosaminase. The prior art teaches the instant claims in PAGE 4811, Scheme III, compounds 1 and 2. The difference between the prior art and the instant claims is that in the instant claims, both R1 and R2 can not be hydrogen simultaneously. However, R2 can be methyl, which would be an obvious variant over the prior art. One skilled in the art would be motivated to use the teachings of Takaoka et al. to prepare the instant compounds in the expectation that compounds similar to those in the prior would have a pharmaceutical use.

Claims 5-23 meet the criteria set out in PCT Article 33(2)-(4), because the prior art does not teach or fairly suggest the hexoaminidase or glycosidase inhibitors of claims 1, 11, or 21, or their methods of use.

Claims 1-4 meet the criteria set out in PCT Article 33(2) and 33(4), because the prior art does not teach or fairly suggest the hexoaminidase or glycosidase inhibitors of claim 1.

____ NEW CITATIONS _____
NONE

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/US00/13048

VII. Certain defects in the international application

The following defects in the form or contents of the international application have been noted:

The drawings are objected to under PCT Rule 66.2(a)(iii) as containing the following defect(s) in the form or content thereof: in fig. 18, the list of experimental steps a-e does not end with a period, and in fig. 19, the list of experimental steps a-e does not end with a period.

VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

Claim 2 is objected to under PCT Rule 66.2(a)(v) as lacking clarity under PCT Article 6 because the claim is indefinite for the following reason(s): The proviso in claim 1 indicates that R1 and R2 can not be hydrogen simultaneously. Claim 2, which is dependent on claim 1, is inconsistent with the proviso in claim 1, because in claim 2, R1 is hydrogen and R2 can also be hydrogen.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US00/13048

A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : C07D 207/02; 207/08; A61K 31/4015

US CL : 548/520, 556; 514/422, 425

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 548/520, 556; 514/422, 425

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
CAS ONLINE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	TAKAOKA et al. In hibition of N-Acetylglucosaminyltransfer Enzymes: Chemical-Enzymatic Synthesis of New Five-Membered Acetamido Azasugars. J. Org. Chem. 1993, Vol. 58, No. 18, pages 4809-4815, especially page 4811.	1-10
X	US 5,250,703 A (FLEET et al.) 05 October 1993 column 8, figure (4).	11-20

☐ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

* Special categories of cited documents:	*T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
A document defining the general state of the art which is not considered to be of particular relevance	*X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
E earlier document published on or after the international filing date	*Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
L document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	*A* document member of the same patent family
O document referring to an oral disclosure, use, exhibition or other means	
P document published prior to the international filing date but later than the priority date claimed	

Date of the actual completion of the international search

30 JUNE 2000

Date of mailing of the international search report

30 AUG 2000

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Commissioner of Patents and Trademarks
Box PCT
Washington, D.C. 20231

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